

CLAIMS

1. A method for preparing polymeric microparticulates comprising (1) adding secondary organic solvent into primary organic solvent containing biodegradable polymer and hydrophobic surfactant to prepare polymer solution; (2) dissolving and/or dispersing drug(s) in aqueous solution including water-soluble polymer and hydrophilic surfactant, and then adding the solution to the polymer solution prepared in the step (1) to prepare primary emulsion solution (water-in-oil (W/O)), where microcoagulated particles of the water-soluble polymer is formed by 10 dehydration of internal water phase of the primary emulsion solution, leading to encapsulation of the drug into said microparticulates; and (3) dispersing the primary emulsion solution into external continuous phase to solidify the polymeric microparticulates.
- 15 2. The method according to Claim 1, characterized in that the biodegradable polymer is at least one selected from the group consisting of poly(lactic acid) (PLA), poly(glycolic acid) (PGA), poly(lactic acid-co-glycolic acid) (PLGA) and polycaprolactone (PCL).
- 20 3. The method according to Claim 1, characterized in that the biodegradable polymer is added to 10 to 60%(w/v) of the organic solvent within the polymer solution.
4. The method according to Claim 1, characterized in that, in the step (1), crystalline polymer is further added.

5. The method according to Claim 4, characterized in that the crystalline polymer is poly(ethylene glycol) or poly(L-lactic acid).

5 6. The method according to Claim 4, characterized in that mass ratio between the crystalline polymer and the biodegradable polymer is 0.1:99.9 to 20:80.

10 7. The method according to Claim 1, characterized in that said hydrophobic surfactant is at least one selected from the group consisting of fatty acid, olefin, alkyl carbon, silicone, sulfate ester, fatty alcohol sulfate, sulfated fat and oil, sulfonic acid salt, aliphatic sulfonate, alkylaryl sulfonate, ligminsulfonate, phosphoric acid ester, polyoxyethylene, polyglycerol, polyol, imidazoline, alkanolamine, hetamine, sulfomethamine, phosphatide and sorbitan fatty acid ester.

15 8. The method according to Claim 7, characterized in that said hydrophobic surfactant is sorbitan trioleate.

20 9. The method according to Claim 1, characterized in that the hydrophobic surfactant is added to 0.1 to 30%(v/v) of the organic solvent within the polymer solution.

10. The method according to Claim 1, characterized in that the primary organic solvent is at least one selected from dichloromethane, chloroform, cyclohexane and ethylacetate.

11. The method according to Claim 1, characterized in that the secondary organic solvent is at least one selected from acetone, acetonitrile, dimethylsulfoxide, tetrahydrofuran and dioxane.

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12. The method according to Claim 1, characterized in that volume ratio between the primary organic solvent and the secondary organic solvent is 95:5 to 50:50.

10 13. The method according to Claim 1, characterized in that the water-soluble polymer is at least one selected from the group consisting of cellulose, hemicellulose, pectin, lignin, starch of storage carbohydrate, chitosan, xanthan gum, alginic acid, pullulan, curdlan, dextran, levan, hyaluronic acid, glucan, collagen and salts thereof.

15 14. The method according to Claim 13, characterized in that the water-soluble polymer is hyaluronic acid or its salt.

15 15. The method according to Claim 1, characterized in that viscosity of the water-soluble polymer in the aqueous solution before dehydration is 300 to 50,000 cps.

20 16. The method according to Claim 1, characterized in that the hydrophilic surfactant is at least one selected from the group consisting of protein surfactant, polyoxyethylene-polyoxypropylene block copolymer and polyoxyethylene sorbitan fatty acid ester.

17. The method according to Claim 16, characterized in that the hydrophilic surfactant is polyoxyethylene sorbitan monooleate.

18. The method according to Claim 1, characterized in that the hydrophilic 5 surfactant is added to 0.1 to 30%(w/w) of water.

19. The method according to Claim 1, characterized in that the drug is bisphosphonates.

10 20. The method according to Claim 1, characterized in that the external continuous phase is aqueous solution of sodium dodecyl sulphate (SDS), cetyltrimethyl ammonium bromide (CTAB), methyl cellulose (MC), gelatin, polyoxyethylene sorbitan monooleate or polyvinyl alcohol (PVA).

15 21. The method according to Claim 1, characterized in that conventional filtration and washing step is further added to the step (3).

22. Polymeric microparticulates obtained by the preparation method according to any one of Claims 1 to 21.